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NEWS 16 MAR 31 CA/CAplus and CASREACT patent number format for U.S. applications updated  
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NEWS 20 APR 15 WPIIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats  
NEWS 21 APR 28 EMBASE Controlled Term thesaurus enhanced  
NEWS 22 APR 28 IMGRESEARCH reloaded with enhancements  
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NEWS 24 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,

10/517,847

AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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STRUCTURE FILE UPDATES: 30 MAY 2008 HIGHEST RN 1024110-44-8  
DICTIONARY FILE UPDATES: 30 MAY 2008 HIGHEST RN 1024110-44-8

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chain nodes :  
 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25  
 26 27 28  
 ring nodes :  
 1 2 3 4 5  
 chain bonds :  
 3-18 4-6 5-7 7-8 8-9 9-10 10-11 11-12 12-13 12-15 13-14 15-16  
 16-17 18-19 18-20 19-21 21-22 22-23 23-24 24-25 24-26 25-27 27-28

ring bonds :  
 1-2 1-5 2-3 3-4 4-5  
 exact/harm bonds :  
 1-2 1-5 2-3 3-4 3-18 7-8 8-9 10-11 11-12 12-13 12-15 13-14  
 15-16 16-17 16-19 18-20 19-21 22-23 23-24 24-25 24-26 25-27  
 exact bonds :  
 4-5 4-6 5-7 9-10 21-22 27-28  
 isolated ring systems :  
 containing 1 :

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS  
 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS  
 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS

L1 STPOCTURE UPLOADED

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 SAMPLE SEARCH INITIATED 20:22:57 FILE 'REGISTRY'  
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0 ITERATIONS

0 ANSWERS

10/517,847

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
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L2 0 SEA SSS SAM LI

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SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL LI

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FILE 'CAPLUS' ENTERED AT 20:23:15 ON 31 MAY 2008  
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FILE COVERS 1907 - 31 May 2008 VOL 148 ISS 23  
FILE LAST UPDATED: 30 May 2008 (20080530/ED)

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=> s 13  
14 1 L3

=> d 14 abib hitstr abs

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACC on STN  
 ACCESSION NUMBER: 20031006955 CAPLUS  
 DOCUMENT NUMBER: 140:42180  
 TITLE: Preparation of nitrogenous heterocycle prodrugs  
 having N-(2-acyloxyethyl)-N-methylcarbamoyl groups  
 INVENTOR(S): Kamiyama, Keiji; Banno, Kiyoshi; Sato, Fumihiro;  
 Sasuoka, Atsushi  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 75 pp.  
 COOEN: PIXXDZ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| WO 2003106429  | A1   | 20031224 | WO 2003-JP7545  | 20030613   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BE, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,<br>LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH,<br>PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,<br>UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, BW, AM, AR, BY,<br>EG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,<br>FI, PW, GB, GR, RU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,<br>BF, BJ, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
| CA 2469470   | A1   | 20031224 | CA 2003-24B9470 | 20030613   |
| AU 2003242388  | A1   | 20031231 | AU 2003-242388  | 20030613   |
| JP 2004307457  | A    | 20041104 | JP 2003-169308  | 20030613   |
| EP 1514870   | A1   | 20050316 | EP 2003-733425  | 20030613   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, BE, HU, SK   |      |          |                 |            |
| CN 1678215   | A    | 20051005 | CN 2003-818895  | 20030613   |
| ZA 2005000090  | A    | 20060726 | ZA 2005-90      | 20050105   |
| US 20060293371   | A1   | 20061226 | US 2005-517847  | 20050624   |
| PRIORITY APPN. INFO.:  |      |          | JP 2002-175986  | A 20020614 |
|  |      |          | JP 2003-41085   | A 20030219 |
|  |      |          | WO 2003-JP7545  | W 20030613 |

OTHER SOURCE(S): MARPAT 140:42180

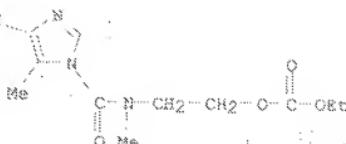
IT 63666-78-IP

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TBU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of nitrogenous heterocycle prodrugs having  
 N-(acyloxyethyl)-N-methylcarbamoyl groups)

RW 636565-78-1 CAPLUS  
 CN Carbonic acid,  
 Z-[[[4-[[2-[(cyanoamino)(methylamino)methylene]amino]ethylthio]methyl]-5-methyl-1H-imidazol-1-yl]carbonyl)methylamino]ethyl  
 ethyl ester (9CI) (CA INDEX NAME)

NHMe

NC - NH - C - N - CH<sub>2</sub> - CH<sub>2</sub> - S - CH<sub>2</sub> -

G1



AB Disclosed is a compound having a group represented by the formula (I) (X1,

X2 = O, S; W = (un)substituted bivalent hydrocarbon chain, -W1-Z-W2-; wherein W1, W2 = bivalent hydrocarbon chain, a bond; Z = (un)substituted

bivalent hydrocarbon ring or heterocyclic ring, O, S, SO, SO<sub>2</sub>,

(un)substituted NH; provided that when Z = O, S, SO, SO<sub>2</sub>, or

(un)substituted NH, then W1, W2 = bivalent hydrocarbon chain; R = H,

(un)substituted hydrocarbon group or heterocyclic ring; or R is not H,

R

may be linked to W; D1, D2 = a bond, O, S, (un)substituted NH; Y = (un)substituted hydrocarbyl or heterocyclyl as a modifying group to be eliminated from a prodrug. It enables prodrug development based on the modification of a nitrogenous heterocycle, atm., with

N-(2-acyloxyethyl)-N-

methylcarbamoyl groups. For example, 3'-azido-3'-deoxythymidine (zidovudine), N-cyano-N'-methyl-N''-[2-((4-methyl-5-imidazolyl)-methylthio)ethyl]guanidine (cimetidine), (R)-2-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole [(R)-(+)-iansoprazole], 2-T[(3,5-Dimethyl-4-methoxy-2-pyridyl)methyl]sulfinyl]-5-methoxy-1H-benzimidazole (omeprazole),

2-[[(4-(3-methoxypropoxy)-3-methyl-2-pyridyl)methyl]sulfinyl]benzimidazole (rabeprozole), 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridyl)methyl]sulfinyl]-1H-benzimidazole (pantoprazole), or 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine (tenatoprazole) were modified by one of CONMeCH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Et, CONMeCH<sub>2</sub>CH<sub>2</sub>OAc, and CONMeCH<sub>2</sub>CH<sub>2</sub>OCO<sub>2</sub>-(tetrahydropyran-4-yl) groups.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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|--|------------|---------|--|
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